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=> d his ful
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(FILE 'HOME' ENTERED AT 10:48:22 ON 03 NOV 2005)

FILE 'HCAPLUS' ENTERED AT 10:48:34 ON 03 NOV 2005

E ROBOTTI KARLA M/AU

16 SEA ABB=ON ("ROBOTTI KARLA"/AU OR "ROBOTTI KARLA M"/AU OR L1 "ROBOTTI KARLA MARIE"/AU)

L2 1 SEA ABB=ON L1 AND ?GLYCOSYLAT? SELECT RN L2 1-1

FILE 'REGISTRY' ENTERED AT 10:49:35 ON 03 NOV 2005

1 SEA ABB=ON 107-95-9/BI L3

FILE 'HCAPLUS' ENTERED AT 10:49:50 ON 03 NOV 2005

L4

1 SEA ABB=ON L2 AND L3 3 SEA ABB=ON L1 AND ?NUCLEOPHIL? L5

SELECT RN L5 1-3

FILE 'REGISTRY' ENTERED AT 10:51:38 ON 03 NOV 2005

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FILE 'HCAPLUS' ENTERED AT 10:51:52 ON 03 NOV 2005

3 SEA ABB=ON L5 AND L6

ANALYZE L7 1-3 CT : L823 TERMS

FILE 'REGISTRY' ENTERED AT 10:57:30 ON 03 NOV 2005

STR

L7

L9

L10 4 SEA SSS SAM L9

131 SEA SSS FUL L9 L11

see dyne stat, ettached, for structure

FILE 'HCAPLUS' ENTERED AT 11:04:44 ON 03 NOV 2005

L12 29 SEA ABB=ON L11

O SEA ABB=ON L12 AND ?NUCLEOPHIL?(W)?LINK? L13

L12 AND ?LINK? L14 15 SEA ABB=ON

L14 AND ?NUCLEOPHIL? L15 O SEA ABB=ON

L14 AND (?RESIN? OR ?SOLID?(W)?SUPPORT?) L16 7 SEA ABB=ON

L17 0 SEA ABB=ON L14 AND ?SEPARAT? (4A) ?GLYCOSYLAT?

L18 O SEA ABB=ON L14 AND ?SEPARAT? (6A) ?PROTEIN?

FILE 'HCAPLUS' ENTERED AT 11:07:15 ON 03 NOV 2005 L19

FILE 'USPATFULL' ENTERED AT 11:07:47 ON 03 NOV 2005

L20

FILE HOME

AFLUS ENTERED AT 11:0/:15 ON 03 NOV 2005
6 SEA ABB=ON L16 AND (PRD<20031031 OR PD<20031031) 6 extra females

PATFULL' ENTERED AT 11:07:47 ON 03 NOV 2005
8 SEA ABB=ON L16 AND (PRD<20031031 OR PD<20031031)

Scil-from USPatfull

FILE HCAPLUS

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FILE COVERS 1907 - 3 Nov 2005 VOL 143 ISS 19 FILE LAST UPDATED: 2 Nov 2005 (20051102/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 NOV 2005 HIGHEST RN 866526-24-1 DICTIONARY FILE UPDATES: 1 NOV 2005 HIGHEST RN 866526-24-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 1 Nov 2005 (20051101/PD)

FILE LAST UPDATED: 1 Nov 2005 (20051101/ED)

HIGHEST GRANTED PATENT NUMBER: US6961956

HIGHEST APPLICATION PUBLICATION NUMBER: US2005241041

CA INDEXING IS CURRENT THROUGH 1 Nov 2005 (20051101/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 1 Nov 2005 (20051101/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005

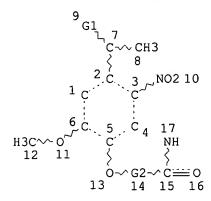
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

>>> USPAT2 is now available. USPATFULL contains full text of the

>>>	original, i.e., the earliest published granted patents or	<<<
>>>	applications. USPAT2 contains full text of the latest US	<<<
>>>	publications, starting in 2001, for the inventions covered in	<<<
>>>	USPATFULL. A USPATFULL record contains not only the original	<<<
>>>	published document but also a list of any subsequent	<<<
>>>	publications. The publication number, patent kind code, and	<<<
>>>	publication date for all the US publications for an invention	<<<
>>>	are displayed in the PI (Patent Information) field of USPATFULL	<<<
>>>	records and may be searched in standard search fields, e.g., /PN,	<<<
>>>	/PK, etc.	<<<
>>>	USPATFULL and USPAT2 can be accessed and searched together	<<<
>>>	through the new cluster USPATALL. Type FILE USPATALL to	<<<
>>>	enter this cluster.	<<<
>>>		<<<
>>>	Use USPATALL when searching terms such as patent assignees,	<<<
>>>	classifications, or claims, that may potentially change from	<<<
>>>	the earliest to the latest publication.	<<<

This file contains CAS Registry Numbers for easy and accurate substance identification.  $\label{eq:case2} % \begin{substance} \end{substance} % \begin{substance} \end{subst$ 

=> d que stat 119 L9 STR



VAR G1=O/NH REP G2=(0-20) CH2 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

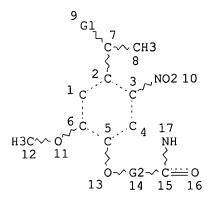
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

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=> d que stat 120 L9 STR



VAR G1=O/NH REP G2=(0-20) CH2 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

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		)	

#### => d ibib abs hitstr l19 1-6

AUTHOR(S):

L19 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:737883 HCAPLUS

DOCUMENT NUMBER: 137:385101

TITLE: Evaluation of a two-stage screening procedure in the combinatorial search for serine protease-like activity

Madder, Annemieke; Li, Liu; De Muynck, Hilde; Farcy, Nadia; Van Haver, Dirk; Fant, Franky; Vanhoenacker,

Gerd; Sandra, Pat; Davis, Anthony P.; De Clercq,

Pierre J.

CORPORATE SOURCE: Laboratory of Organic Synthesis, Department of Organic

Chemistry, Ghent University, Ghent, B-9000, Belg.

SOURCE: Journal of Combinatorial Chemistry (2002),

4(6), 552-562

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:385101

A series of peptidosteroid derivs. containing two independent peptide chains in which Ser and His are incorporated were synthesized by solid-phase peptide synthesis. The activity of the different compds. in the hydrolysis of the activated substrate NF31 was assessed in a stepwise fashion. First, the different resin-bound peptidyl cholic acid derivs. were individually assayed for serine esterification in the absence of water. The use of a colored substrate allowed for a visual identification of the most active compds. Through the inclusion of control substances, the involvement of histidine in the mechanism for serine acylation was shown. Second, the hydrolysis and methanolysis of the different acylated peptidosteroid derivs. were evaluated using UV spectroscopy, again indicating the involvement of histidine. feasibility of applying the above procedures in a combinatorial context was proven via the screening of artificial libraries, created by mixing the different resin-bound peptidosteroid compds. In this respect, the use of a photocleavable linker allowed for the unambiguous structural characterization of the selected members via application of single-bead electrospray tandem mass spectrometry.

IT 476167-40-5DP, resin-bound

RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(solid phase peptide synthesis of peptidosteroids and screening procedure in combinatorial search for serine protease-like activity) 476167-40-5 HCAPLUS

RN 476167-40-5 HCAPLUS Carbamic acid,  $[(3\alpha,5\beta,7\alpha,12\alpha)-7-(acetyloxy)-24-[[1-[4-(4-amino-4-oxobutoxy)-5-methoxy-2-nitrobenzoyl]ethyl]amino]-24-oxocholane-3,12-diyl]bis-, 12-(1,1-dimethylethyl) 3-(2-propenyl) ester$ 

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 476167-39-2DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase peptide synthesis of peptidosteroids and screening procedure in combinatorial search for serine protease-like activity)

RN 476167-39-2 HCAPLUS

CN Butanamide, 4-[4-(1-aminoethyl)-2-methoxy-5-nitrophenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:738889 HCAPLUS

DOCUMENT NUMBER:

133:296036

Process for the solid phase synthesis of aldehyde, TITLE:

ketone, oxime, amine, hydroxamic acid, and

 $\alpha, \beta$ -unsaturated carboxylic acid and

aldehyde compounds

Salvino, Joseph M.; Morton, George C.; Mason, Helen INVENTOR(S):

J.; Labaudiniere, Richard F.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 43 pp., Cont.-in-part of Appl. No.

PCT/US97/23920. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

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US	6133	409			Α	_	2000			US 1	998-	1038	72				624 <
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CA	2335	511			AA		1999			CA 1	999-	2335	511		1		623 <
WO	9967	192			A2		1999			WO 1	999-	US14	251		1	9990	623 <
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                                              US 1999-469829
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OTHER SOURCE(S): CASREACT 133:296036; MARPAT 133:296036

AB For example, Wang resin was condensed with N-hydroxyphthalimide and the product hydrazinolized to give an O-amino resin which was amidated by 4,3-BrMeC6H3CO2H to give RONHCOC6H4MeBr-3,4 (R = resin). The latter was N-alkylated by 4-ClC6H4CH2Br and the product treated with acid to give 4-ClC6H4N(OH)COC6H4MeBr-3,4.

IT 182297-44-5D, resin bound

RL: RCT (Reactant); RACT (Reactant or reagent) (process for the solid phase synthesis of aldehyde, ketone, oxime, amine, hydroxamic acid, and  $\alpha,\beta$ -unsatd. carboxylic acid and aldehyde compds.)

RN 182297-44-5 HCAPLUS

CN Butanamide, 4-[4-[1-(aminooxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:819328 HCAPLUS

DOCUMENT NUMBER: 132:63782

TITLE: Solid phase synthesis of carbonyl compounds

INVENTOR(S): Salvino, Joseph M.; Morton, George C.; Mason, Helen

J.; Labaudiniere, Richard F.

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967192	A2	19991229	WO 1999-US14251	19990623 <

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                         CASREACT 132:63782; MARPAT 132:63782
OTHER SOURCE(S):
     Title compds. were prepared by condensation of RLONRbCORa (R = resin
     ; L = bond or linking group; Ra, Rb = aliphatic group, aryl) with
     RcM (M = metal cation; Rc = aliphatic or aryl anion). Thus,
     4-(RO)C6H4CH2ON(CH2C6H4Br-4)CO(CH2)3Ph (prepn given) was treated with
     LiAlH3OMe to give Ph(CH2)CHO.
     253167-16-7DP, resin bound 253167-24-7DP,
TΤ
     resin bound
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (solid phase synthesis of carbonyl compds.)
     253167-16-7 HCAPLUS
RN
     Butanamide, 4-[4-[1-(aminooxy)ethyl]-2-methoxy-5-nitrophenoxy]- (9CI) (CA
CN
     INDEX NAME)
                          0-NH2
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MeO 
$$O = NH_2$$
 $O = NH_2$ 
 $O = NH_2$ 

RN 253167-24-7 HCAPLUS

CN Carbamic acid, [1-[4-(4-amino-4-oxobutoxy)-5-methoxy-2-nitrophenyl]ethoxy]-, 2-propenyl ester (9CI) (CA INDEX NAME)

L19 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:218627 HCAPLUS

DOCUMENT NUMBER: 126:277102

TITLE: Model Studies for New o-Nitrobenzyl Photolabile

Linkers: Substituent Effects on the Rates of

Photochemical Cleavage

AUTHOR(S): Holmes, Christopher P.

CORPORATE SOURCE: Affymax Research Institute, Palo Alto, CA, 94304, USA

SOURCE: Journal of Organic Chemistry (1997), 62(8),

2370-2380

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:277102

GT

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Both a model phenacyl and o-nitrobenzyl photolabile linker from the literature along with four new o-nitrobenzyl linkers were prepared and the kinetics of their photolytic cleavage examined in solution The

linkers were prepared by amidation of the carboxylic acid anchoring tether with benzylamine, and the cleavable benzylic substituent was chosen to be either acetic acid or acetamide. Irradiation of the linkers in four solvents [methanol, p-dioxane, and aqueous buffer (±)dithiothreitol] at 365 nm and anal. via HPLC afforded kinetic rates of cleavage suitable for comparative purposes. The phenacyl linker was found to cleave slowly under aqueous conditions with no detectable cleavage being observed in the organic solvents. Known o-nitrobenzyl linker I showed modest rates of cleavage in aqueous and organic solvents. Incorporation of two alkoxy groups in the benzene ring to generate the veratryl-based linker II increased the rate of cleavage dramatically, and introduction of an addnl. benzylic Me group (III) increased the rate of cleavage by roughly 5 fold. Increasing the length of the anchoring carboxylic acid tether from acetic to butyric acid (IV) improved the cleavage kinetics modestly in organic media and slightly diminished the rates in water. The amide linker V cleaved from 3 to 7 times faster than the corresponding ester linkage IV. An amide-generating linker VI was prepared, and its performance to generate photolabile solid supports was briefly examined The stability of the linker and subsequent cleavage upon photolysis from the support of an isotopically enriched 4-thiazolidinone

RN 188891-24-9 HCAPLUS
CN 3-Thiazolidine-2-13C-acetamide, N-[1-[4-(4-amino-4-oxobutoxy)-5-methoxy-2-nitrophenyl]ethyl]-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)

IT 175281-73-9P 175281-74-0P 188891-11-4P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (models for o-nitrobenzyl photolabile linkers and substituent effects on rates of photochem. cleavage)

RN 175281-73-9 HCAPLUS

CN Acetamide, 2-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OAc} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-CH}_2-\text{O} \\ \end{array}$$

RN 175281-74-0 HCAPLUS

CN Butanamide, 4-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OAc} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-} \text{(CH}_2) \text{ 3-O} \\ \end{array}$$

RN 188891-11-4 HCAPLUS

CN Butanamide, 4-[4-[1-[(acetyloxy)amino]ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-OAc} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-} \text{(CH}_2) \text{ }_3-\text{O} \\ \end{array}$$

# IT 188891-15-8P 188891-17-0P 188891-19-2P

188891-20-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(models for o-nitrobenzyl photolabile **linkers** and substituent effects on rates of photochem. cleavage)

RN 188891-15-8 HCAPLUS

CN Acetamide, 2-(4-acetyl-2-methoxy-5-nitrophenoxy)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 188891-17-0 HCAPLUS

CN Acetamide, 2-[4-(1-hydroxyethyl)-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-CH}_2-\text{O} \\ \end{array}$$

RN 188891-19-2 HCAPLUS

CN Butanamide, 4-(4-acetyl-2-methoxy-5-nitrophenoxy)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{O} \\ \parallel \\ \text{Ph-CH}_2\text{-NH-C- (CH}_2)} \\ \text{3-O} \end{array}$$

RN 188891-20-5 HCAPLUS

CN Butanamide, 4-[4-(1-hydroxyethyl)-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

. 
$$\begin{array}{c} \text{OH} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-} \text{(CH}_2) \text{ 3-O} \\ \end{array}$$

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:237462 HCAPLUS

DOCUMENT NUMBER: 124:290276

TITLE: Solid phase synthesis of thiazolidinones,

metathiazanones, and their derivatives as

peptidomimetics.

INVENTOR(S): Holmes, Christopher P.

PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
WO	9600	148			A1	_	1996	0104		WO 1	995-	US79	88		1	9950	 623 ⋅	<
	W:	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,	
		GB,	GE,	HU,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LK,	LR,	LT,	LU,	LV,	MD,	
		MG,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	
		TM,	TT															
	RW:	KE,	MW,	SD,	SZ,	UG,	AT,	ВĒ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	
							BF,											
		SN,	TD,	TG														
US	5549	974			Α		1996	0827		US 1	994-	2650	90		1	9940	623 •	<- <b>-</b>
AU	9529	485			A1		1996	0119		AU 1	995-	2948	5		1	9950	623 •	<
PRIORIT	Y APP	LN.	INFO	. :						US 1	994-	2650	90		A2 1	9940	623 4	<
										WO 1	995-	US79	88	1	W 1	9950	623 •	<
OTHER SO	OURCE	(S):			MARI	PAT	124:	2902	76	_	<del>-</del>				_			

AB Title compds. were prepared by (1) providing RNH2 (R = alkyl, alkoxy, amino, aryl, aryloxy, heteroaryl, aralkyl) on the surface of a solid support, (2) treating the amine with R3R4CO (R3 = H, R4 = alkyl, aryl, heteroaryl, aralkyl) and with HSCR5R6(CR7R8)nCO2H (R5-R8 = H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, CO2H, carboxyalkyl, carboxyaryl, aralkyl; n = 0, 1) under conditions that cyclize the components. A library of thiazolidinones was prepared using TentaGel S resin functionalized with a photolinker, FMOC-protected amino acids, aldehydes, and various amines and hydrazides and tested for κ-opioid activity. Deconvolution of the library led to thiazolidinone (I), whose isomers showed IC50 = 45 and 75 nM in an assay against the κ-opioid receptor using 3H-diprenorphine.

Ι

IT 159645-99-5DP, resin-bound 175453-21-1DP, resin-bound 175453-25-5DP, resin-bound 175453-27-7DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of thiazolidinones, metathiazanones, and their derivs. as peptidomimetics)

RN 159645-99-5 HCAPLUS

CN 3-Thiazolidineacetamide, N-[1-[4-(4-amino-4-oxobutoxy)-5-methoxy-2-nitrophenyl]ethyl]-2-(2,4-dimethoxyphenyl)-4-oxo-(9CI) (CA INDEX NAME)

RN 175453-25-5 HCAPLUS
CN Butanamide, 4-[4-(1-hydroxyethyl)-2-methoxy-5-nitrophenoxy]- (9CI) (CA INDEX NAME)

RN 175453-27-7 HCAPLUS

CN 5-Thiazolidineacetic acid, 2-[4-[1-[4-(4-amino-4-oxobutoxy)-5-methoxy-2-nitrophenyl]ethoxy]-3-methoxyphenyl]-4-oxo-3-(4-phenylbutyl)- (9CI) (CA INDEX NAME)

Ph- (CH<sub>2</sub>) 4 Me OMe OMe OMe OH OCH<sub>2</sub>) 
$$O$$
 OMe  $O$  OH OCH<sub>2</sub>)  $O$  OH  $O$  OH

L19 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:222237 HCAPLUS

DOCUMENT NUMBER: 124:261766

TITLE: Preparation of photolabile nitrophenol ethers as

photocleavable??? linking groups in solid

phase synthesis

INVENTOR(S): Holmes, Christopher

PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PA	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
WO	9600	378			A1	_	1996	0104		 WO 1	 995-	US79	85		1	9950	623	<
	W:	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,	
		GB,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LK,	LR,	LT,	LU,	LV,	MD,	
		MG,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	
		TM,	TT		•	·	•	·	-			-						
	RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	
			MC,				BF,											
		SN,	TD,	•	•		•	•	·	•	•		·					
US	5549	974	•		Α		1996	0827		US 1	994-	2650	90		1	9940	623	<
US	5679	773			Α		1997	1021		US 1	995-	3744	92		1	9950	117	<
CA	2193	228			AA		1996	0104		CA 1	995-	2193	228		1	9950	623	<
AU	9529	483			A1		1996	0119		AU 1	995-	2948	3		1	9950	623	<
ΑU	6899	24			В2		1998	0409										
EΡ	7763	30			A2		1997	0604		EP 1	995-	9253	03		1	9950	623	<
	7763				В1		2003	0820										
	R:	CH,	DE,	FR,	GB,	IT,	LI,	NL										

JP 10507160 T2 19980714 JP 1995-503349 19950623 <-PRIORITY APPLN. INFO.: US 1994-265090 A 19940623 <-US 1995-374492 A2 19950117 <--

WO 1995-US7985 W 19950623 <--

OTHER SOURCE(S):

MARPAT 124:261766

GI

The title compds. [I; R1 = H, alkyl, aryl, aralkyl; R2, R3, R4 = H, alkyl, alkoxy; X11, Y11 = H, SP, OH, OP, NH2, NHP, NR5R6; wherein P = a suitable protecting or activating group; R5, R6 = H, (un)substituted alkyl, aryl, or aralkyl, substituted heteroaryl; q = an integer 1-10], which are incorporated on the surface of a solid support to produce a derivatized solid support having attached photolabile linking groups at synthesis initiation sites and are useful for synthesizing small ligand mols. or peptides, are prepared Thus, acetovanilone was condensed with Me 4-bromobutyrate in the presence of K2CO3 in DMF to give Me 4-(4-acetyl-2-methoxyphenoxy)butanoate, which was oximated with hydroxylamine hydrochloride in aqueous pyridine at room temperature

ΙV

for 14 h to the oxime, Me 4-(1-hydroxyiminoethyl-2-methoxyphenoxy) butanoate, hydrogenated over 10% Pd-C in AcOH to the crude amine, Me 4-(1-aminoethyl-2-methoxyphenoxy) butanoate, and acylated by trifluoroacetic anhydride in pyridine at 0° for 1 h to give the intermediate (II; X = H, R = OMe, R7 = CF3CO) (80% overall yield from acetovanilone). The latter compound was nitrated by 70% HNO3 at 0° for 2 h to give the nitro compound II (X = NO2, R = OMe, R7 = CF3CO) (86% yield), which was saponified with a refluxing mixture of MeOH and 1 N aqueous

NaOH for 5 h, cooled to room temperature, and concentrated to .apprx.100 mL, treated with

aqueous dioxane, made pH 9 with 6 N HCl, treated with Fmoc-Cl and an addnl. portion of dioxane, adjusted to pH 8 with 1 N aqueous NaOH over the next 30 min to give the title compound II (X = NO2, R = OH, R7 = Fmoc) (81% yield). This was linked to TentaGel resin to give the resin-bound II (X = NO2, R = TentaGel resin, R7 = Fmoc),

to which were sequentially condensed Fmoc-Phe-OH, Boc-Met-OH, 'Fmoc-Trp(Boc)-OH, Fmoc-Gly-OH, and Fmoc-Met-OH to give, after deprotection with piperidine to remove Fmoc group and with a mixture of CF3CO2H, phenol, water, thioanisole, and ethanethiol to remove side-chain protecting group, the resin bound peptide II (X = NO2, R = H-Met-Gly-Trp-Met-Asp-Phe-TentaGel). 50 Beads bearing the fully deprotected peptide were covered with a 1:1 solution of DMSO and PBS containing 0.1% hydrazine and irradiated for 1 h to give, the CCK peptide, H-Met-Gly-Trp-Met-Asp-Phe-NH2 (70% yield). Thiazolidinone (e.g. III) and azetidinone ( $\beta$ -lactam) (IV) were also prepared by the solid phase method using the same photolabile linking group.

IT 175281-73-9P 175281-74-0P 175281-75-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (photocleavable linker; preparation of photolabile nitrophenol ethers as photocleavable linking groups in solid phase synthesis of peptides and small ligand mols.)

RN 175281-73-9 HCAPLUS

CN Acetamide, 2-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OAc} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-CH}_2-\text{O} \\ \end{array}$$

RN 175281-74-0 HCAPLUS

CN Butanamide, 4-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OAC} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-(CH}_2)_3-\text{O} \\ \end{array}$$

RN 175281-75-1 HCAPLUS

CN Butanamide, 4-[4-[1-(acetylamino)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

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L20 ANSWER 1 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2005:23972 USPATFULL

TITLE: In vivo gene silencing by chemically modified and

stable siRNA

INVENTOR(S): Rana, Tariq M., Shrewsbury, MA, UNITED STATES
PATENT ASSIGNEE(S): UNIVERSITY OF MASSACHUSETTS, Worcester, MA (U.S.

corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005020521	A1	20050127	
APPLICATION INFO.:	US 2003-672069	A1	20030925	(10)

	NUMBER	DAIL	
PRIORITY INFORMATION:	US 2002-413529P	20020925 (60)	<
	US 2002-426982P	20021115 (60)	<
	US 2003-458051P	20030326 (60)	<
	US 2003-493095P	20030805 (60)	<
DOCUMENT TYPE.	II+; 1;+,,		

MITTALDED

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: LAHIVE & COCKFIELD, LLP., 28 STATE STREET, BOSTON, MA,

DAME

02109

NUMBER OF CLAIMS: 83 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 42 Drawing Page(s)

LINE COUNT: 5638

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides compositions for RNA interference and methods of use thereof. In particular, the invention provides small interfering RNAs (siRNAs) having modification that enhance the stability of the siRNA without a concomitant loss in the ability of the siRNA to participate in RNA interference (RNAi). The invention also provides siRNAs having modification that increase targeting efficiency. Modifications include chemical crosslinking between the two complementary strands of an siRNA and chemical modification of a 3' terminus of a strand of an siRNA. Preferred modifications are internal modifications, for example, sugar modification, nucleobase modification and/or backbone modifications. Such modifications are also useful, e.g., to improve uptake of the siRNA by a cell. Functional and genomic and proteomic methods are featured. Therapeutic methods are also featured.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 676530-96-4D, conjugates with siRNA 3'-terminus

(in vivo gene silencing by chemical modified and stable siRNA)

RN 676530-96-4 USPATFULL

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[4-[[4-[4-[1-[[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-1,4-dioxobutyl]amino]ethyl]-2-methoxy-5-nitrophenoxy]-1-oxobutyl]amino]butyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$NO_2$$
 $NO_2$ 
 $NO_2$ 

L20 ANSWER 2 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2004:273701 USPATFULL

TITLE:

Allele-targeted RNA interference Rana, Tariq M., Shrewsbury, MA, UNITED STATES UNIVERSITY OF MASSACHUSETTS, Worcester, MA (U.S. INVENTOR(S): PATENT ASSIGNEE(S):

corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:					
APPLICATION INFO .:	US 2003-715229	A1	20031117	(10)	
	NUMBER	DA'	ГЕ		
PRIORITY INFORMATION:	HS 2002-426982P	2002	1115 (60)	<	
FRIORITI INFORMATION.	US 2002-430517P				
	US 2003-458051P				
DOCUMENT TYPE:			( ) ,		
FILE SEGMENT:	APPLICATION				
LEGAL REPRESENTATIVE:	LAHIVE & COCKFIE	LD, LLP	., 28 STATE	E STREET, BOSTON,	MA,
	02109				
NUMBER OF CLAIMS: EXEMPLARY CLAIM:	34				
EXEMPLARY CLAIM:	1				
NUMBER OF DRAWINGS:	4 Drawing Page(s	)			
LINE COUNT:	1556				
CAS INDEXING IS AVAILAB	LE FOR THIS PATEN	т.			
AB The present inve	ntion provides si	RNAs wi	th modified	d bases in the	
	, e.g., 5-Iodo-Ur.				

(U(5Br)), or DAP, and methods for using the modified siRNAs to

selectively down-regulate the expression of a mutant allele, even when the mutant mRNA differs from wild-type by only a single nucleotide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

676530-96-4D, conjugates with siRNA 3'-terminus

(in vivo gene silencing by chemical modified and stable siRNA)

676530-96-4 USPATFULL RN

CN pyrrolidinyl)oxy]-1,4-dioxobutyl]amino]ethyl]-2-methoxy-5-nitrophenoxy]-1-oxobutyl]amino]butyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L20 ANSWER 3 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2001:67799 USPATFULL

Synthesis of hydroxamic acid derivatives TITLE:

Floyd, Christopher David, Cowley, United Kingdom Lewis, Christopher Norman, Cowley, United Kingdom INVENTOR(S):

British Biotech Pharmaceuticals, Ltd., Oxford, United PATENT ASSIGNEE(S):

Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 6228988 US 1999-328493	<del>-</del> -	20010508 19990609	<
RELATED APPLN. INFO.:		ed, Pat	. No. US 5	99, filed on 24 Mar 932695 Continuation of 26 Feb 1996

<--

NUMBER DATE

PRIORITY INFORMATION: GB 1995-3749 19950224

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Celsa, Bennett LEGAL REPRESENTATIVE: Hale and Dorr LLP

NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 1175

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes processes for preparing desired synthetic products that comprise a covalently bonded hydroxamic acid group --CONHOH by forming a mixture of a liquid reaction medium and a solid phase reaction product that carries a plurality of moieties of formula (A1) or (B1): ##STR1##

where X is a residual, non-hydroxamate partial structure of the desired synthetic product, P.sub.1 is hydrogen or an amino-protecting group, P.sub.2 is hydrogen or a hydroxyl protecting group, and the bond designated (a) covalently links the moieties (A1) or (B1) to the residue of a solid substrate; by cleaving the bond designated (a) in the resultant mixture; and by separating the resultant liquid reaction phase from the resultant reaction solids to recover the desired synthetic product.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 182297-46-7D, polymer bound 182297-47-8D, polymer bound (synthesis of hydroxamic acid derivs. using solid supports functionalized with (protected) hydroxylamine)

RN 182297-46-7 USPATFULL

CN Butanamide, 4-[4-(1-hydroxyethyl)-2-methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

RN 182297-47-8 USPATFULL

CN Butanamide, 4-[4-[1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]ethyl]-2-methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

IT 182297-44-5DP, polymer bound

(synthesis of hydroxamic acid derivs. using solid supports functionalized with (protected) hydroxylamine)

182297-44-5 USPATFULL RN

Butanamide, 4-[4-[1-(aminooxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-[(4-CN methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 4 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2000:138494 USPATFULL

Process for the solid phase synthesis of aldehyde, ተተጥኒድ •

ketone, oxime, amine, hydroxamic acid and αβ-unsaturated carboxylic acid and aldehyde

compounds

Salvino, Joseph M., Schwenksville, PA, United States INVENTOR(S):

Morton, George C., Collegeville, PA, United States

Mason, Helen J., Skillman, NJ, United States

Labaudiniere, Richard F., Collegeville, PA, United

States

Aventis Pharmaceuticals Products Inc., Collegeville, PATENT ASSIGNEE(S):

PA, United States (U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 6133409 20001017

APPLICATION INFO.: US 1998-103872 19980624 (9)

Continuation-in-part of Ser. No. WO 1997-US23920, filed RELATED APPLN. INFO.:

on 17 Dec 1997 And a continuation-in-part of Ser. No.

US 1997-928943, filed on 12 Sep 1997 which is a continuation of Ser. No. WO 1997-US264, filed on 2 Jan

1997

DOCUMENT TYPE: Utility FILE SEGMENT: Granted Geist, Gary PRIMARY EXAMINER: Davis, Brian J. ASSISTANT EXAMINER: LEGAL REPRESENTATIVE: Oehler, Ross J.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 3195

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ This invention is directed to a process for the solid phase synthesis of aldehyde, ketone, oxime, amine, hydroxamic acid and  $\alpha, \beta$ -

unsaturated carboxylic acid and aldehyde compounds and to polymeric

hydroxylamine resin compounds useful therefor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 182297-44-5D, resin bound

(process for the solid phase synthesis of aldehyde, ketone, oxime, amine, hydroxamic acid, and  $\alpha, \beta$ -unsatd. carboxylic acid and aldehyde compds.)

RN 182297-44-5 USPATFULL

• CN 'Butanamide, 4-[4-[1-(aminooxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 5 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2000:95097 USPATFULL

TITLE: Synthesis of hydroxamic acid derivatives

INVENTOR(S): Floyd, Christopher David, Cowley, United Kingdom Lewis, Christopher Norman, Cowley, United Kingdom

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, Oxford, United

Kingdom (non-U.S. corporation)

RELATED APPLN. INFO.: Division of Ser. No. US 809499

NUMBER DATE

PRIORITY INFORMATION: GB 1995-3749 19950224 <--

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Celsa, Bennett LEGAL REPRESENTATIVE: Hale and Dorr LLP

NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 1144

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention describes solid phase reaction products of a solid substrate carrying a plurality of covalently bound hydroxylamine or protected hydroxylamine groups of formula (A) or (B): ##STR1## where P.sub.1 is hydrogen or an amino protecting group, P.sub.2 is hydrogen or a hydroxyl protecting group, and the bond designated (a) covalently links the formula (A) or (B) to the residue of the solid substrate, and is cleavable under acid conditions or by photolysis. The solid phase reaction products can be used for the synthesis of hydroxamic acid derivatives or a combinatorial library of such compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 182297-46-7D, polymer bound 182297-47-8D, polymer bound

(synthesis of hydroxamic acid derivs. using solid supports functionalized with (protected) hydroxylamine)

RN 182297-46-7 USPATFULL

CN Butanamide, 4-[4-(1-hydroxyethyl)-2-methoxy-5-nitrophenoxy]-N-[(4methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

RN 182297-47-8 USPATFULL

CN Butanamide, 4-[4-[1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]ethyl]-2methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

IT 182297-44-5DP, polymer bound

(synthesis of hydroxamic acid derivs. using solid supports functionalized with (protected) hydroxylamine)

RN 182297-44-5 USPATFULL

CN Butanamide, 4-[4-[1-(aminooxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 6 OF 8 USPATFULL on STN

ACCESSION NUMBER: 1999:89271 USPATFULL

TITLE: Synthesis of hydroxamic acid derivatives

INVENTOR(S): Floyd, Christopher David, Oxford, United Kingdom

Lewis, Christopher Norman, Oxford, United Kingdom

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Ltd., Oxford, United

Kingdom (non-U.S. corporation)

	NUMBER	KIND DATE	
PATENT INFORMATION:	US 5932695 WO 9626223	19990803 19960829	< <
APPLICATION INFO.:	US 1997-809499 WO 1996-GB428	19970324 19960226	(8)
		19970324 19970324	PCT 371 date PCT 102(e) date

<--

NUMBER DATE

PRIORITY INFORMATION:

GB 1995-3749

19950224

DOCUMENT TYPE: FILE SEGMENT:

Utility

Granted

PRIMARY EXAMINER: LEGAL REPRESENTATIVE: Celsa, Bennett Hale and Dorr LLP

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

12 1

LINE COUNT:

1158

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention is direct to a solid phase reaction product of a solid AB substrate carrying a plurality of covalently bound hydroxylamine or protected hydroxylamine groups. The solid solid phase reaction product may be used for the synthesis of hydroxamic acid derivatives or a combinatorial library of such compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 182297-46-7D, polymer bound 182297-47-8D, polymer bound (synthesis of hydroxamic acid derivs. using solid supports functionalized with (protected) hydroxylamine)

RN 182297-46-7 USPATFULL

Butanamide, 4-[4-(1-hydroxyethyl)-2-methoxy-5-nitrophenoxy]-N-[(4-CN methylphenyl)phenylmethyl] - (9CI) (CA INDEX NAME)

182297-47-8 USPATFULL RN

Butanamide, 4-[4-[1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]ethyl]-2-CN methoxy-5-nitrophenoxy]-N-[(4-methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

IΤ **182297-44-5DP**, polymer bound

(synthesis of hydroxamic acid derivs. using solid supports functionalized with (protected) hydroxylamine)

RN 182297-44-5 USPATFULL

Butanamide, 4-[4-[1-(aminooxy)ethy1]-2-methoxy-5-nitrophenoxy]-N-[(4-methoxy-5-nitrophenoxy]]CN methylphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER: 97:96961 USPATFULL

TITLE: Reagants and methods for immobilized polymer synthesis

and display

INVENTOR(S): Holmes, Christopher P., Sunnyvale, CA, United States PATENT ASSIGNEE(S): Affymax Technologies N.V, Greenford, United Kingdom

(non-U.S. corporation)

	NUMBER	KIND DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 5679773 US 1995-374492	19971021 19950117	<
DOCUMENT TYPE: FILE SEGMENT: PRIMARY EXAMINER:	Utility Granted Tsang, Cecilia J.		
ASSISTANT EXAMINER: LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:	Lukton, David Kezer, William B., 11	Stevens, Laure	n L.

NUMBER OF CLAIMS: 11 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 5 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 1595

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds and methods for solid phase synthesis of organic molecules including peptides, oligonucleotides, benzodiazepines,  $\beta$ -turn mimetics, and prostaglandins. The present invention provides new reagents in the form of linking groups and resins and substrates having attached linking groups which are useful in solid phase synthesis of high density arrays of organic molecules. The invention also provides methods which increase yields of various organic synthesis strategies.

CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 175281-73-9P 175281-74-0P 175281-75-1P

(photocleavable linker; preparation of photolabile nitrophenol ethers as photocleavable linking groups in solid phase synthesis of peptides and small ligand mols.)

RN 175281-73-9 USPATFULL

CN Acetamide, 2-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

∽ RN •175281-74-0 USPATFULL

Butanamide, 4-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-CN (phenylmethyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OAc} \\ \text{MeO} \\ \text{CH-Me} \\ \\ \text{Ph-CH}_2-\text{NH-C-} \text{(CH}_2) \text{ 3-O} \\ \end{array}$$

175281-75-1 USPATFULL RN

Butanamide, 4-[4-[1-(acetylamino)ethyl]-2-methoxy-5-nitrophenoxy]-N-CN (phenylmethyl) - (9CI) (CA INDEX NAME)

L20 ANSWER 8 OF 8 USPATFULL on STN

96:77631 USPATFULL ACCESSION NUMBER:

Methods for the solid phase synthesis of TITLE:

thiazolidinones, metathiazanones, and derivatives

thereof

Holmes, Christopher P., Sunnyvale, CA, United States INVENTOR(S):

AFFYMAX Technologies NV, Curacao, Netherlands Antilles PATENT ASSIGNEE(S):

(non-U.S. corporation)

	(non o.b. corporation		
	NUMBER KI	IND DATE	
PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: FILE SEGMENT: PRIMARY EXAMINER: ASSISTANT EXAMINER: LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: EXEMPLARY CLAIM:	US 5549974 US 1994-265090 Utility Granted Datlow, Philip I. Wong, King Lit Stevens, Lauren L. 11	19960827 19940623	(8)

28 Drawing Figure(s); 18 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT: 2054

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides an efficient and versatile method for the combinatorial synthesis and screening of libraries of 4-thiazolidinones, metathiazanones, and derivatives thereof. In order to expediently synthesize a combinatorial library of derivatives based upon these core structures, a general methodology for the solid phase synthesis of these derivatives is also provided. Arrays of thiazolidinones, metathiazanones, and derivatives thereof useful as peptidomimetics and

for the identification of agents having antifungal, antihistaminic, or antimicrobial activity or use in the treatment of inflammation, hypertension, renal failure, congestive heart failure, uremia and other conditions can be prepared using this method.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### IT 175281-73-9P 175281-74-0P 175281-75-1P

(photocleavable linker; preparation of photolabile nitrophenol ethers as photocleavable linking groups in solid phase synthesis of peptides and small ligand mols.)

RN 175281-73-9 USPATFULL

CN Acetamide, 2-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 175281-74-0 USPATFULL

CN Butanamide, 4-[4-[1-(acetyloxy)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OAc} & \text{OAc} \\ \text{O} & \text{CH-Me} \\ \\ \text{Ph-CH}_2\text{-NH-C-(CH}_2)_{3}\text{-O} & \text{NO}_2 \\ \end{array}$$

RN 175281-75-1 USPATFULL

CN Butanamide, 4-[4-[1-(acetylamino)ethyl]-2-methoxy-5-nitrophenoxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Inventor George

#### Cordero-Garcia 10/699,449

03/11/2005

=> d ibib abs hitstr 17 1-3

ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:394694 HCAPLUS

DOCUMENT NUMBER: 142:407215

Enrichment and tagging of glycosylated proteins TITLE:

Robotti, Karla M. INVENTOR(S):

PATENT ASSIGNEE(S): USA

U.S. Pat. Appl. Publ., 11 pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005095647	A1	20050505	US 2003-699449	20031031
PRIORITY APPLN. INFO.:			US 2003-699449	20031031
		1 6 1		

A method useful in the anal. of glycosylated proteins, in which a mixture AB containing glycosylated proteins and unglycosylated proteins is contacted with a resin that includes a nucleophile bound to a solid support via a linker. The contacting is performed under conditions sufficient to result in removal of the glycosyl group from the glycosylated proteins and to concomitantly result in the deglycosylated proteins covalently bound to the solid support. The deglycosylated proteins bound to the solid support may be rinsed to remove proteins that are not covalently bound to the solid support. The deglycosylated proteins are released from the solid support and may be subjected to further purification and/or anal.

ΙT **107-95-9**, β-Alanine

> RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(enrichment and tagging of glycosylated proteins)

107-95-9 HCAPLUS RN

β-Alanine (6CI, 8CI, 9CI) (CA INDEX NAME) CN

H2N-CH2-CH2-CO2H

ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN L7

2004:485873 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:35983

Universal reagent for isotopically tagging peptides TITLE:

INVENTOR(S): Robotti, Karla M.; Apffel, James Alexander,

Jr.

PATENT ASSIGNEE(S): Agilent Technologies, Inc., USA

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPL	ICATION NO.	DATE
EP 1429147	A1 2004	0616 EP 2	003-257854	20031215
R: AT, BE, CH,		•		
IE, SI, LT,	LV, FI, RO,	MK, CY, AL,	TR, BG, CZ, EE,	HU, SK

```
US 2002-318845
                                                                    20021213
     US 2004115821
                          Α1
                                20040617
                                            US 2002-318845 A 20021213
PRIORITY APPLN. INFO.:
                        MARPAT 141:35983
OTHER SOURCE(S):
     Compds., compns., methods for sequencing proteins and peptides, and
     methods for identifying proteins and peptides in a mixture, are disclosed.
     Compds. of formula A-B-C wherein A is a nucleophilic reactive
     group, B is a detectable moiety capable of being isotopically labeled, and
     C is a charge replacement group, are used to label the peptides at the
     N-terminus or the C-terminus. The tagged peptides can then be analyzed by
     mass spectroscopy.
     7782-39-0, Deuterium, uses 10028-17-8, Tritium, uses
     10043-66-0, Iodine 131, uses 13965-97-4, Sulfur 34, uses
     13981-43-6, Chlorine 36, uses 13981-73-2, Chlorine 37,
     uses 14158-31-7, Iodine 125, uses 14380-59-7, Bromine
     81, uses 14390-96-6, Nitrogen 15, uses 14596-37-3,
     Phosphorus 32, uses 14762-74-4, Carbon 13, uses
     14762-75-5, Carbon 14, uses 14797-71-8, Oxygen 18, uses
     15117-53-0, Sulfur 35, uses 15715-08-9, Iodine 123, uses
     RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
        (universal reagent for isotopically tagging peptides)
     7782-39-0 HCAPLUS
RN
CN
     Deuterium (7CI, 8CI, 9CI) (CA INDEX NAME)
D- D
RN
     10028-17-8 HCAPLUS
     Tritium (8CI, 9CI) (CA INDEX NAME)
CN
T - T
     10043-66-0 HCAPLUS
RN
     Iodine, isotope of mass 131, at. (8CI, 9CI) (CA INDEX NAME)
CN
131<sub>T</sub>
     13965-97-4 HCAPLUS
RN
     Sulfur, isotope of mass 34 (8CI, 9CI) (CA INDEX NAME)
CN
34s
     13981-43-6 HCAPLUS
RN
     Chlorine, isotope of mass 36, at. (8CI, 9CI) (CA INDEX NAME)
CN
36C1
     13981-73-2 HCAPLUS
RN
     Chlorine, isotope of mass 37, at. (8CI, 9CI) (CA INDEX NAME)
```

37C1

RN 14158-31-7 HCAPLUS

CN Iodine, isotope of mass 125, at. (8CI, 9CI) (CA INDEX NAME)

125<sub>I</sub>

RN 14380-59-7 HCAPLUS

CN Bromine, isotope of mass 81, at. (8CI, 9CI) (CA INDEX NAME)

81<sub>Br</sub>

RN 14390-96-6 HCAPLUS

CN Nitrogen, isotope of mass 15, at. (8CI, 9CI) (CA INDEX NAME)

15<sub>N</sub>

RN 14596-37-3 HCAPLUS

CN Phosphorus, isotope of mass 32 (8CI, 9CI) (CA INDEX NAME)

32p

RN 14762-74-4 HCAPLUS

CN Carbon, isotope of mass 13 (8CI, 9CI) (CA INDEX NAME)

13<sub>C</sub>

RN 14762-75-5 HCAPLUS

CN Carbon, isotope of mass 14 (8CI, 9CI) (CA INDEX NAME)

14c

RN 14797-71-8 HCAPLUS

CN Oxygen, isotope of mass 18, at. (8CI, 9CI) (CA INDEX NAME)

180

RN 15117-53-0 HCAPLUS

CN Sulfur, isotope of mass 35 (8CI, 9CI) (CA INDEX NAME)

35<sub>S</sub>

RN 15715-08-9 HCAPLUS

CN Iodine, isotope of mass 123, at. (8CI, 9CI) (CA INDEX NAME)

123<sub>I</sub>

108-77-0, 2,4,6-Trichloro-s-triazine 557-66-4, Ethylamine hydrochloride 2002-24-6, Ethanolamine hydrochloride 7087-68-5, n, n-Diisopropylethylamine 10256-43-6 17616-24-9, Ethyl-d5-amine 58822-25-6, Leucine enkephalin 74124-79-1, n,n'-Disuccinimidyl carbonate 85047-08-1

RL: RCT (Reactant); RACT (Reactant or reagent) (universal reagent for isotopically tagging peptides)

RN 108-77-0 HCAPLUS

CN 1,3,5-Triazine, 2,4,6-trichloro- (9CI) (CA INDEX NAME)

RN 557-66-4 HCAPLUS

CN Ethanamine, hydrochloride (9CI) (CA INDEX NAME)

H3C-CH2-NH2

## ● HCl

RN 2002-24-6 HCAPLUS

CN Ethanol, 2-amino-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

H2N-CH2-CH2-OH

# ● HCl

RN 7087-68-5 HCAPLUS

CN 2-Propanamine, N-ethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 10256-43-6 HCAPLUS

CN Ethanaminium, 2-amino-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

 $Me_3+N-CH_2-CH_2-NH_2$ 

● cl -

RN 17616-24-9 HCAPLUS

CN Ethan-d5-amine (9CI) (CA INDEX NAME)

 $H_2N-CD_2-CD_3$ 

RN 58822-25-6 HCAPLUS

CN 1-5-β-Neoendorphin (human) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 74124-79-1 HCAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-[carbonylbis(oxy)]bis- (9CI) (CA INDEX NAME)

RN 85047-08-1 HCAPLUS

CN Ethan-1,1,2,2-d4-ol, 2-amino- (9CI) (CA INDEX NAME)

H2N-CD2-CD2-OH

IT 2904-52-1P 3440-19-5P, 2-Ethylamino-4,6-Dichloro-s-Triazine 701935-56-0P 701935-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(universal reagent for isotopically tagging peptides)

RN 2904-52-1 HCAPLUS

CN Ethanol, 2-[[4-chloro-6-(ethylamino)-1,3,5-triazin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 3440-19-5 HCAPLUS

CN 1,3,5-Triazin-2-amine, 4,6-dichloro-N-ethyl- (9CI) (CA INDEX NAME)

RN 701935-56-0 HCAPLUS

CN Ethanaminium, 2-[[4-(ethylamino)-6-[(2-hydroxyethyl)amino]-1,3,5-triazin-2-yl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

RN 701935-57-1 HCAPLUS

CN Ethanaminium, 2-[[4-[[2-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]oxy]ethy l]amino]-6-(ethylamino)-1,3,5-triazin-2-yl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

IT 701935-58-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (universal reagent for isotopically tagging peptides)

RN 701935-58-2 HCAPLUS

CN Ethanaminium, 2-[[4-[[2-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]oxy]ethy l-1,1,2,2-d4]amino]-6-(ethyl-d5-amino)-1,3,5-triazin-2-yl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:485872 HCAPLUS

DOCUMENT NUMBER: 141:35982

TITLE: Proteomic analysis

INVENTOR(S): Apffel, James Alexander, Jr.; Robotti, Karla

M.

PATENT ASSIGNEE(S): Agilent Technologies, Inc., USA

SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1429146	A1	20040616	EP 2003-257853	20031215
R: AT, BE, CH,	DE, DK	, ES, FR, GI	B, GR, IT, LI, LU,	NL, SE, MC, PT,
			Y, AL, TR, BG, CZ,	EE, HU, SK
US 2005100956	A1	20050512	US 2002-318475	20021213
PRIORITY APPLN. INFO.:			US 2002-318475	A 20021213
OTHER SOURCE(S):	MARPAT	141:35982		

The present invention provides methods for analyzing a peptide or peptides of interest in a protein sample using a combination of a relatively generic isotope tag with a decoupled selection process, allowing simplified customization of the application with a single reagent. These methods comprise providing a first and a second protein sample; labeling the first protein sample with a first Universal Peptide Isotope Tag (U-PIT) reagent and the second protein sample with a second U-PIT reagent; separating the peptide of interest from the combined first and second protein samples; and determining the relative amount of the first U-PIT reagent and the second U-PIT reagent bound to the peptide or peptides of interest. The U-PIT label of the present inventive methods has the following general formula: A-B-C wherein A is a nucleophilic reactive group, B is a detectable moiety that can be isotopically labeled, and C is a charge replacement group.

IT **701935-58-2** 

RL: ANT (Analyte); ANST (Analytical study)
 (proteomic anal.)

RN 701935-58-2 HCAPLUS

CN Ethanaminium, 2-[[4-[[2-[[[(2,5-dioxo-l-pyrrolidinyl)oxy]carbonyl]oxy]ethy l-1,1,2,2-d4]amino]-6-(ethyl-d5-amino)-1,3,5-triazin-2-yl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

IT 108-77-0, 2,4,6-Trichloro-s-triazine 557-66-4,
Ethylamine hydro chloride 2002-24-6, Ethanolamine hydro chloride
10256-43-6 17616-24-9, Ethyl-d5-amine 58822-25-6
, Leucine enkephalin 74124-79-1, N,N'-Disuccinimidyl carbonate
85047-08-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (proteomic anal.)

RN 108-77-0 HCAPLUS

CN 1,3,5-Triazine, 2,4,6-trichloro- (9CI) (CA INDEX NAME)

RN 557-66-4 HCAPLUS

CN Ethanamine, hydrochloride (9CI) (CA INDEX NAME)

H3C-CH2-NH2

#### ● HCl

RN 2002-24-6 HCAPLUS

CN Ethanol, 2-amino-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

H2N-CH2-CH2-OH

## ● HCl

RN 10256-43-6 HCAPLUS

CN Ethanaminium, 2-amino-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

 $Me_3^+N-CH_2-CH_2-NH_2$ 

● Cl -

RN 17616-24-9 HCAPLUS

Ethan-d5-amine (9CI) (CA INDEX NAME) CN

H2N-CD2-CD3

58822-25-6 HCAPLUS RN

1-5-β-Neoendorphin (human) (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

RN 74124-79-1 HCAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-[carbonylbis(oxy)]bis- (9CI) (CA INDEX NAME)

RN85047-08-1 HCAPLUS

CN Ethan-1, 1, 2, 2-d4-ol, 2-amino- (9CI) (CA INDEX NAME)

H2N-CD2-CD2-OH

**2904-52-1P 3440-19-5P**, 2-Ethylamino-4,6-Dichloro-s-Triazine **701935-56-0P 701935-57-1P** IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(proteomic anal.)

RN 2904-52-1 HCAPLUS

Ethanol, 2-[[4-chloro-6-(ethylamino)-1,3,5-triazin-2-yl]amino]- (9CI) (CA CN INDEX NAME)

RN 3440-19-5 HCAPLUS

CN 1,3,5-Triazin-2-amine, 4,6-dichloro-N-ethyl- (9CI) (CA INDEX NAME)

RN 701935-56-0 HCAPLUS

CN Ethanaminium, 2-[[4-(ethylamino)-6-[(2-hydroxyethyl)amino]-1,3,5-triazin-2-yl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

RN 701935-57-1 HCAPLUS

CN Ethanaminium, 2-[[4-[[2-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]oxy]ethy l]amino]-6-(ethylamino)-1,3,5-triazin-2-yl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

7

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT